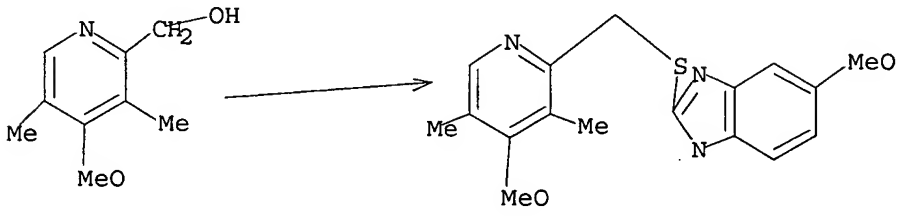
```
=> file casreact
FILE 'CASREACT' ENTERED AT 15:53:32 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 17 Sep 2006 VOL 145 ISS 12

New CAS Information Use Policies, enter HELP USAGETERMS for details.

*************************************************************
*                                                           *
*     CASREACT now has more than 10 million reactions       *
*                                                           *
*************************************************************

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991)
provided by InfoChem, INPI data prior to 1986, and Biotransformations
database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance
identification.

=> d que
L1                STR
```




```
Structure attributes must be viewed using STN Express query preparation.
L3             4 SEA FILE=CASREACT SSS FUL L1 (    38 REACTIONS)


=> d l3 1-4 ibib abs fcrd


L3   ANSWER 1 OF 4  CASREACT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         142:219287  CASREACT
TITLE:                    Process for preparing isomerically pure prodrugs of
                          proton pump inhibitors such as omeprazole and
                          pantoprazole
INVENTOR(S):              Garst, Michael E.; Dolby, Lloyd Jay; Esfandiari,
                          Shervin; Mackenzie, Vivian Rose; Avey, Alfred Arthur;
                          Muchmore, David Charles; Cooper, Geoffrey Kenneth;
                          Malone, Thomas C.
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 44 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:
```

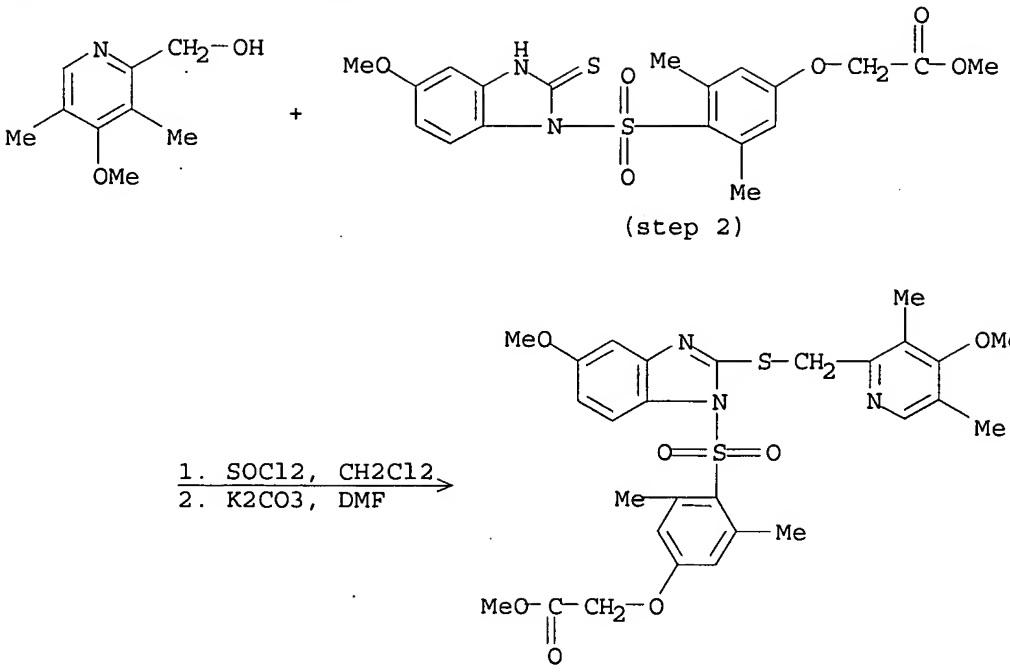
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038076	A1	20050217	US 2004-891317	20040713
AU 2004264401	A1	20050224	AU 2004-264401	20040115
CA 2532104	AA	20050224	CA 2004-2532104	20040115
WO 2005016917	A1	20050224	WO 2004-US1154	20040115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1644352	A1	20060412	EP 2004-702576	20040115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
CN 1823058	A	20060823	CN 2004-80020488	20040115
PRIORITY APPLN. INFO.:			US 2003-487340P	20030715
			WO 2004-US1154	20040115

OTHER SOURCE(S): MARPAT 142:219287
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Syntheses of prodrugs I (R = alkylsulfonyl, arylsulfonyl, substituted arylsulfonyl, heteroarylsulfonyl, substituted heteroarylsulfonyl) of proton pump inhibitors such as omeprazole and pantoprazole are presented. Thus, methyl(3,5-dimethylphenoxy)acetate was added to chlorosulfonic acid to give the corresponding 4-chlorosulfonyl which was alkylated with 4-methoxy-2-nitroaniline. The nitro group of the alkylation product was reduced by treatment with H2 and PtO2, and the resulting amine treated with thiocarbonyl diimidazole to give II. Treatment of II with 4-methoxy-3,5-dimethylpyridinemethanol followed by oxidation with 3-chloroperoxy benzoic acid and treatment with NaOH in H2O/dimethoxyethane gave the desired III.

RX(120) OF 622 - 2 STEPS



NOTE: 2) chemoselective
CON: STEP(1.1) 30 minutes, room temperature; 30 minutes, room temperature
STEP(2) 1.5 hours, room temperature

L3 ANSWER 2 OF 4 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:357349 CASREACT
TITLE: Process for the preparation of 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole (pyrmetazole) from (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl alcohol (pyrmethyl alcohol).
INVENTOR(S): Gustavsson, Anders
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035565	A1	20040429	WO 2003-SE1602	20031015
WO 2004035565	C1	20050519		

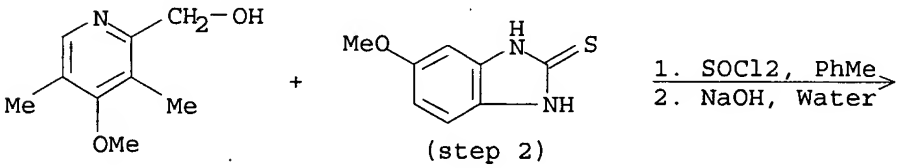
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,

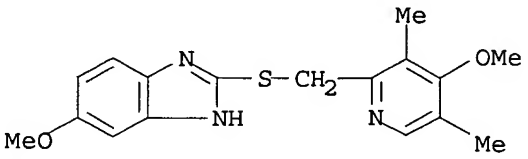
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2501542	AA	20040429	CA 2003-2501542	20031015
AU 2003269773	A1	20040504	AU 2003-269773	20031015
EP 1556370	A1	20050727	EP 2003-751703	20031015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015254	A	20050823	BR 2003-15254	20031015
CN 1705656	A	20051207	CN 2003-80101430	20031015
JP 2006505567	T2	20060216	JP 2004-545137	20031015
ZA 2005002577	A	20051012	ZA 2005-2577	20050330
US 2006084811	A1	20060420	US 2005-531412	20050414
NO 2005002158	A	20050502	NO 2005-2158	20050502
PRIORITY APPLN. INFO.:			SE 2002-3092	20021018
			WO 2003-SE1602	20031015

AB Pyrmetazole was prepared by treatment of pyrmethyl alc. with a chloro-dehydroxylating agent to give pyrmethyl chloride, which was treated with metmercazole in the presence of base. Thus, pyrmethyl alc. in PhMe saturated with H2O at 10° was treated with SOCl2 over 60 min. to give 99% conversion to pyrmethyl chloride.

RX(3) OF 3 - 2 STEPS





CON: STEP(1) 60 minutes, 25 - 30 deg C
STEP(2.1) room temperature -> 45 deg C, pH >12.5; 2 hours, 45 deg C

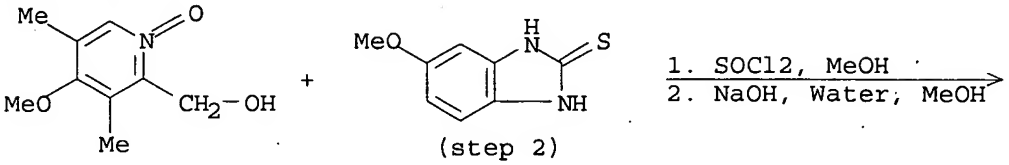
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

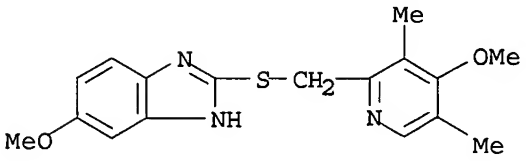
L3 ANSWER 3 OF 4 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:28738 CASREACT
TITLE: Synthesis of omeprazole
AUTHOR(S): Liu, Xiulan
CORPORATE SOURCE: Research Department, Shanxi Guardian Pharmaceuticals Co. Ltd, Taiyuan, 030021, Peop. Rep. China
SOURCE: Shanxi Yike Daxue Xuebao (2002), 33(4), 330-332
CODEN: SDXYF5; ISSN: 1007-6611
PUBLISHER: Shanxi Yike Daxue Xuebao Bianjishi
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB The title compound was prepared from 5-methoxy-1H-benzimidazole-2-thiol by condensation with 2-(chloromethyl)-4-methoxy-3,5-dimethylpyridine followed

by oxidation with m-chloroperoxybenzoic acid. The yield was 84.6%.

RX(8) OF 32 - 2 STEPS



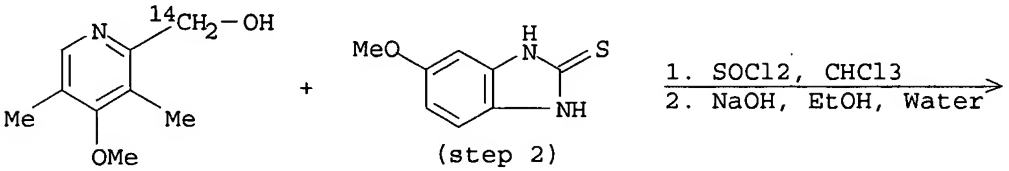


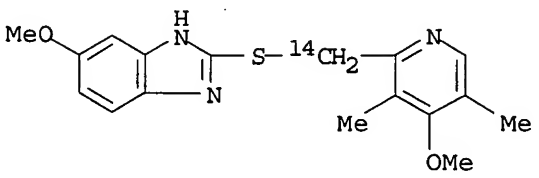
61%

CON: STEP(1.1) room temperature -> -10 deg C; 30 minutes,
-20 - -10 deg C; -20 - -10 deg C; 3 hours,
-10 deg C -> room temperature; room temperature
STEP(2.1) room temperature -> reflux; 6 hours, reflux

L3 ANSWER 4 OF 4 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 106:84476 CASREACT
TITLE: The preparation of carbon-14-, sulfur-35-, and carbon-13-labeled forms of omeprazole
AUTHOR(S): Crowe, A. M.; Ife, R. J.; Mitchell, M. B.; Saunders, D.
CORPORATE SOURCE: Smith Kline and French Res. Ltd., The Frythe/Welwyn/Hertfordshire, AL6 9AR, UK
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1986), 23(1), 21-33
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Omeprazoles labeled with carbon-13 or -14 at the benzimidazole position, sulfur-35, or carbon-14 at the methylene position (4 compds.) were prepared

RX(24) OF 42 - 2 STEPS





10/531,412

=>

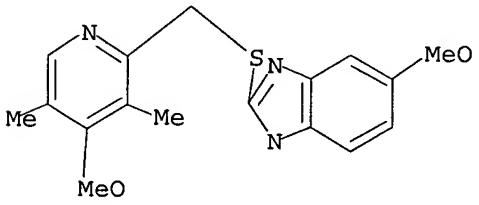
=> file caplus
FILE 'CAPLUS' ENTERED AT 16:11:40 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 21 Sep 2006 VOL 145 ISS 13
FILE LAST UPDATED: 20 Sep 2006 (20060920/ED)

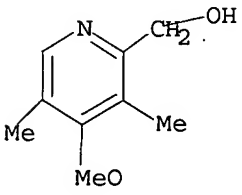
Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> d que
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L2 STR



Structure attributes must be viewed using STN Express query preparation.
L3 277 SEA FILE=REGISTRY SSS FUL L1
L4 4 SEA FILE=REGISTRY SSS FUL L2
L6 17 SEA FILE=CAPLUS L3 AND L4

=> d l6 1-17 ibib abs hitstr

L6 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:140807 CAPLUS
DOCUMENT NUMBER: 142:219287
TITLE: Process for preparing isomerically pure prodrugs of proton pump inhibitors such as omeprazole and

pantoprazole

INVENTOR(S): Garst, Michael E.; Dolby, Lloyd Jay; Esfandiari, Shervin; Mackenzie, Vivian Rose; Avey, Alfred Arthur; Muchmore, David Charles; Cooper, Geoffrey Kenneth; Malone, Thomas C.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 44 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038076	A1	20050217	US 2004-891317	20040713
AU 2004264401	A1	20050224	AU 2004-264401	20040115
CA 2532104	AA	20050224	CA 2004-2532104	20040115
WO 2005016917	A1	20050224	WO 2004-US1154	20040115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1644352	A1	20060412	EP 2004-702576	20040115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
CN 1823058	A	20060823	CN 2004-80020488	20040115

PRIORITY APPLN. INFO.: US 2003-487340P P 20030715
WO 2004-US1154 W 20040115

OTHER SOURCE(S): CASREACT 142:219287; MARPAT 142:219287

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

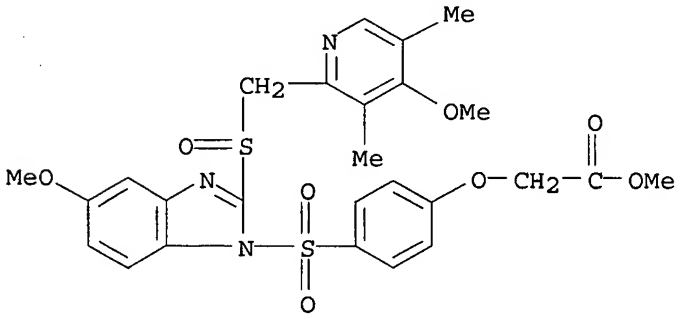
AB Syntheses of prodrugs I (R = alkylsulfonyl, arylsulfonyl, substituted arylsulfonyl, heteroarylsulfonyl, substituted heteroarylsulfonyl) of proton pump inhibitors such as omeprazole and pantoprazole are presented. Thus, methyl(3,5-dimethylphenoxy)acetate was added to chlorosulfonic acid to give the corresponding 4-chlorosulfonyl which was alkylated with 4-methoxy-2-nitroaniline. The nitro group of the alkylation product was reduced by treatment with H2 and PtO2, and the resulting amine treated with thiocarbonyl diimidazole to give II. Treatment of II with 4-methoxy-3,5-dimethylpyridinemethanol followed by oxidation with 3-chloroperoxy benzoic acid and treatment with NaOH in H2O/dimethoxyethane gave the desired III.

IT 519182-98-0P 651728-64-2P 651729-34-9P
651729-35-0P 651729-45-2P 651729-48-5P
651729-53-2P 651729-57-6P 651729-61-2P
651729-67-8P 651729-68-9P 651729-75-8P
651729-76-9P 651729-77-0P 651729-90-7P
651729-91-8P 843615-41-8P 843615-50-9P
843615-54-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic

preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparing isomerically pure N-arylsulfonyl benzimidazole prodrugs of the known proton pump inhibitors omeprazole and pantoprazole)

RN 519182-98-0 CAPLUS

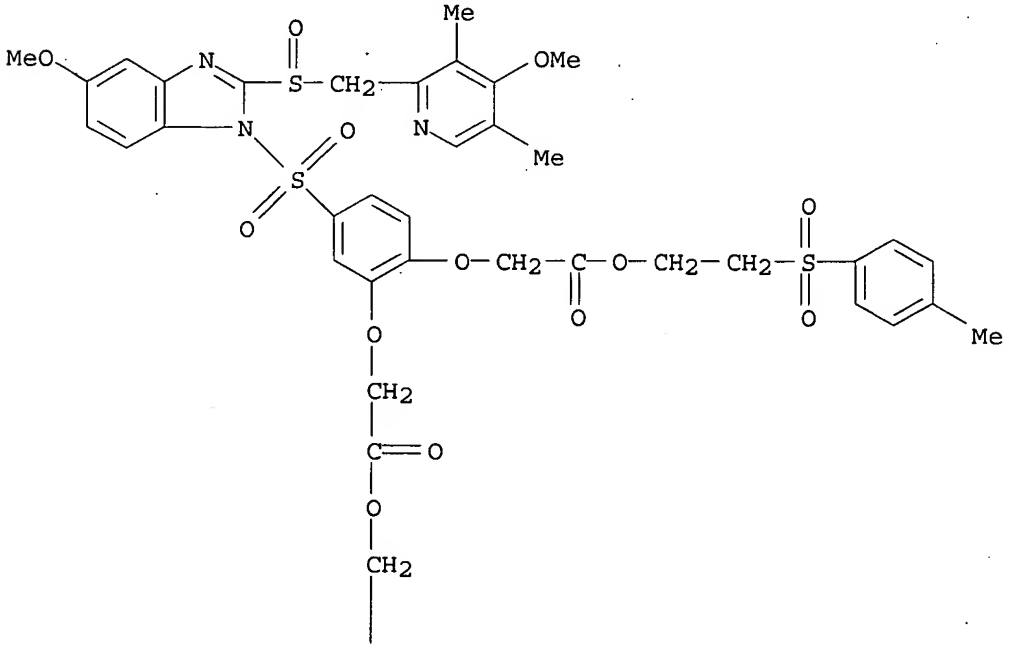
CN Acetic acid, [4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

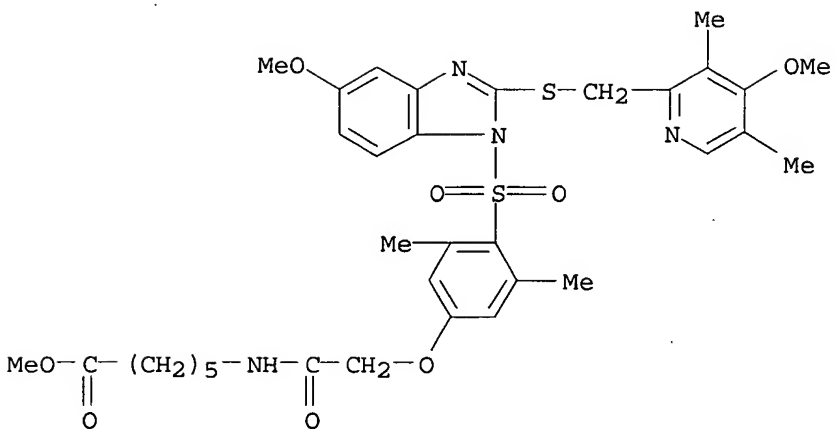


RN 651728-64-2 CAPLUS

CN Acetic acid, 2,2'-[[4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-1,2-phenylene]bis(oxy)]bis-, bis[2-[(4-methylphenyl)sulfonyl]ethyl] ester (9CI) (CA INDEX NAME)

PAGE 1-A





L6 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:354929 CAPLUS
DOCUMENT NUMBER: 140:357349
TITLE: Process for the preparation of 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole (pyrmetazole) from (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl alcohol (pyrmethyl alcohol).
INVENTOR(S): Gustavsson, Anders
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035565	A1	20040429	WO 2003-SE1602	20031015
WO 2004035565	C1	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501542	AA	20040429	CA 2003-2501542	20031015
AU 2003269773	A1	20040504	AU 2003-269773	20031015
EP 1556370	A1	20050727	EP 2003-751703	20031015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015254	A	20050823	BR 2003-15254	20031015
CN 1705656	A	20051207	CN 2003-80101430	20031015
JP 2006505567	T2	20060216	JP 2004-545137	20031015
ZA 2005002577	A	20051012	ZA 2005-2577	20050330
US 2006084811	A1	20060420	US 2005-531412	20050414
NO 2005002158	A	20050502	NO 2005-2158	20050502
PRIORITY APPLN. INFO.:			SE 2002-3092 A	20021018

WO 2003-SE1602 W 20031015

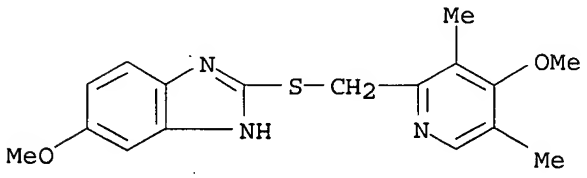
OTHER SOURCE(S): CASREACT 140:357349

AB Pyrmetazole was prepared by treatment of pyrmethyl alc. with a chloro-dehydroxylating agent to give pyrmethyl chloride, which was treated with metmercazole in the presence of base. Thus, pyrmethyl alc. in PhMe saturated with H2O at 10° was treated with SOCl2 over 60 min. to give 99% conversion to pyrmethyl chloride.

IT 73590-85-9P, 5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrmetazole from pyrmethyl alc.)

RN 73590-85-9 CAPLUS

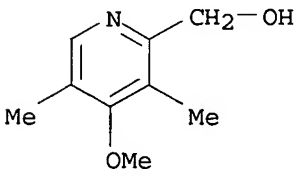
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



IT 86604-78-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrmetazole from pyrmethyl alc.)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:80681 CAPLUS

DOCUMENT NUMBER: 140:146138

TITLE: Preparation of pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides as prodrugs of proton pump inhibitors with improved aqueous solubility and bioavailability for use as anti-ulcer agents

INVENTOR(S): Garst, Michael E.; Sachs, George; Shin, Jai Moo

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009583	A2	20040129	WO 2003-US22419	20030715

WO 2004009583	A3	20040318			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2492718	AA	20040129	CA 2003-2492718		20030715
AU 2003259154	A1	20040209	AU 2003-259154		20030715
US 2004102484	A1	20040527	US 2003-620252		20030715
US 6897227	B2	20050524			
BR 2003012802	A	20050419	BR 2003-12802		20030715
EP 1556371	A2	20050727	EP 2003-765694		20030715
EP 1556371	B1	20060419			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005536519	T2	20051202	JP 2004-523537		20030715
AT 323695	E	20060515	AT 2003-765694		20030715
US 2005143423	A1	20050630	US 2005-39631		20050120
US 2005182101	A1	20050818	US 2005-39630		20050120
NO 2005000801	A	20050215	NO 2005-801		20050215
HK 1079207	A1	20060623	HK 2006-100445		20060111
PRIORITY APPLN. INFO.:			US 2002-397459P	P	20020719
			US 2003-620252	A3	20030715
			WO 2003-US22419	W	20030715

OTHER SOURCE(S): MARPAT 140:146138
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides (shown as I-IV or isomers of II and III where the OCH3, and HF2CO groups, resp. are linked to the 6 position of the benzimidazole ring; R = substituted Ph, pyridyl, naphthyl, quinolinyl, quinoxalinyl, thienyl, benzo[b]thienyl, or R1R2Y-; Y is a straight-chained or branched disubstituted alkyl of 1-8 carbons, or Y is N; R1 and R2 independently are H, a straight-chained or branched di- or trisubstituted alkyl, etc. (addnl. details including provisos are given in the claims); e.g. 3-[2-[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-ylmethanesulfonyl]benzimidazole-1-sulfonyl]benzoic acid (V)), prodrugs of proton pump inhibitors, have improved aqueous solubility and bioavailability and

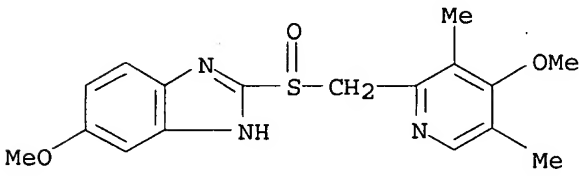
can be used in combination with known anti-ulcer drugs. Data regarding aqueous solubility, stability in buffers, stability in plasma and inhibition of gastric acid secretion in rats (oral and i.v. administration) are provided for some examples of I-IV. Although the methods of preparation are not claimed, example prepns. for .apprx.50 I-IV and many intermediates are included. For example, V was prepared in 4 steps (53, 80, 94 % yields for steps 1-3) starting from 3-chlorosulfonylbenzoic acid and 2-(3-nitrobenzenesulfonyl)ethanol and involving intermediates 3-chlorosulfonylbenzoic acid 2-(3-nitrobenzenesulfonyl)ethyl ester, 3-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid 2-(3-nitrobenzenesulfonyl)ethyl ester and the Na salt of V.

IT 73590-58-6P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT

(Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides as prodrugs of proton pump inhibitors with improved aqueous solubility and bioavailability for use as anti-ulcer agents)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

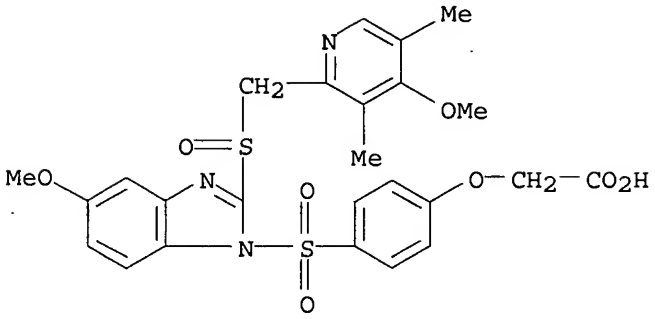


IT 651728-41-5P, [4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt 651728-60-8P, 2-Methoxy-5-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt 651728-66-4P, [2-Carboxymethoxy-4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid disodium salt 651728-75-5P, 3-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt 651728-86-8P, 3-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-4-methylbenzoic acid sodium salt 651728-96-0P, [3,5-Dimethyl-4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt 651729-04-3P, 3-[2-Methoxy-5-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenyl]propionic acid sodium salt 651729-13-4P, [[3-Isopropyl-4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-5-methylphenyl]oxy]acetic acid sodium salt 651729-25-8P, 2-(Carboxymethoxy)-5-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid disodium salt 651729-50-9P, 3-[[4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-3,5-dimethylphenyl]oxy]-2,2-dimethylpropionic acid sodium salt 651729-53-2P, [4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid 651729-69-0P, 4-Methoxy-3-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt 651729-78-1P, 3-[4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]-2,2-dimethylpropionic acid sodium salt 651729-92-9P, 3-[4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenyl]propanoic acid sodium salt
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides as prodrugs of proton pump inhibitors with improved aqueous solubility and bioavailability for use as anti-ulcer agents)

RN 651728-41-5 CAPLUS

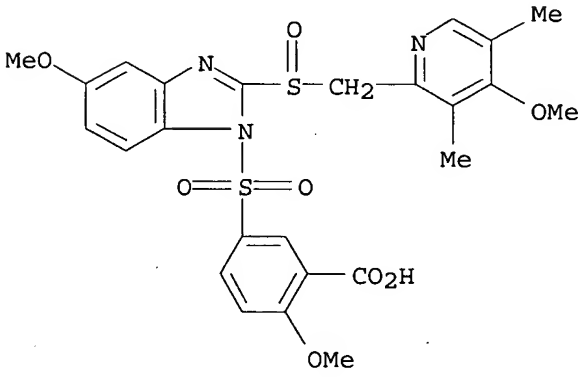
CN Acetic acid, [4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, sodium

salt (9CI) (CA INDEX NAME)



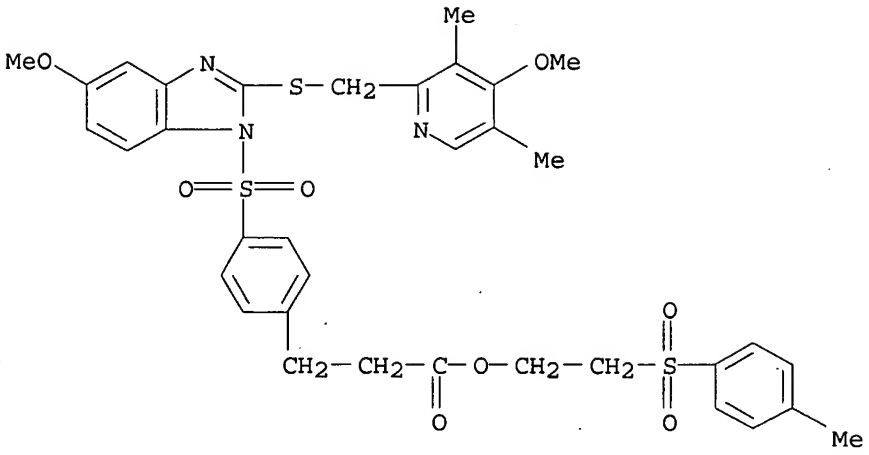
● Na

RN 651728-60-8 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-, sodium salt (9CI) (CA INDEX NAME)



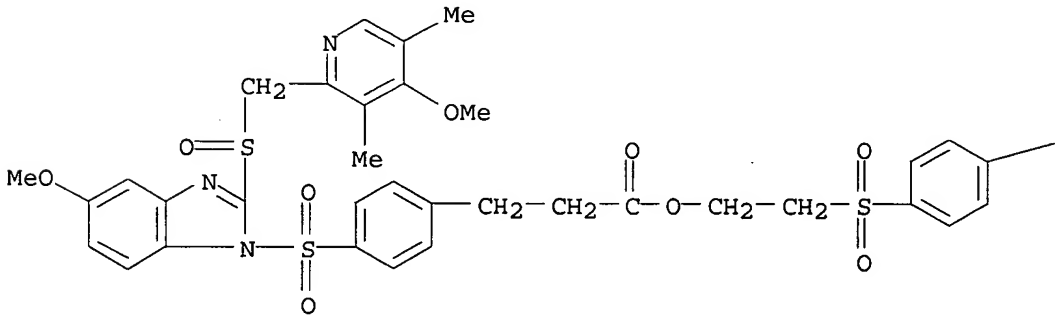
● Na

RN 651728-66-4 CAPLUS
CN Acetic acid, 2,2'-[[4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-1,2-phenylene]bis(oxy)]bis-, disodium salt (9CI) (CA INDEX NAME)



RN 651729-91-8 CAPLUS
CN Benzenepropanoic acid, 4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-, 2-[(4-methylphenyl)sulfonyl]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

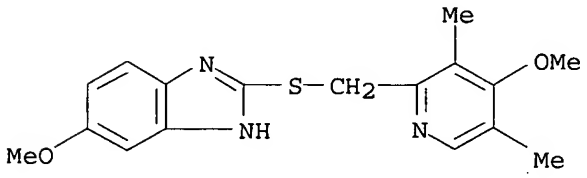


PAGE 1-B

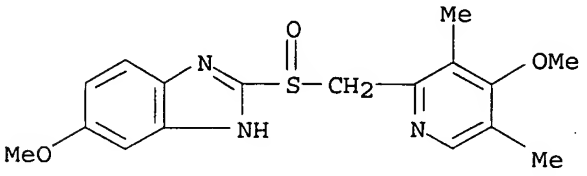
Me

L6 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:611907 CAPLUS
DOCUMENT NUMBER: 140:28738
TITLE: Synthesis of omeprazole
AUTHOR(S): Liu, Xiulan
CORPORATE SOURCE: Research Department, Shanxi Guardian Pharmaceuticals Co. Ltd, Taiyuan, 030021, Peop. Rep. China
SOURCE: Shanxi Yike Daxue Xuebao (2002), 33(4), 330-332
CODEN: SDXYF5; ISSN: 1007-6611
PUBLISHER: Shanxi Yike Daxue Xuebao Bianjishi
DOCUMENT TYPE: Journal

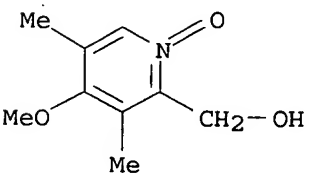
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 140:28738
AB The title compound was prepared from 5-methoxy-1H-benzimidazole-2-thiol by condensation with 2-(chloromethyl)-4-methoxy-3,5-dimethylpyridine followed by oxidation with m-chloroperoxybenzoic acid. The yield was 84.6%.
IT 73590-85-9P, 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation with chloroperoxybenzoic acid)
RN 73590-85-9 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



IT 73590-58-6P, Omeprazole
RL: CPS (Chemical process); IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PREP (Preparation); PROC (Process)
(process for production of)
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT 287118-45-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for production of)
RN 287118-45-0 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl-, 1-oxide (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:219763 CAPLUS
DOCUMENT NUMBER: 138:204944
TITLE: Preparation of pyridine derivatives as intermediates

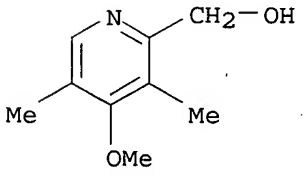
for antiulcer omeprazole
INVENTOR(S): Tzou, Shian-Yan; Chen, Sz-Shian; Chen, Sz-Feng
PATENT ASSIGNEE(S): Development Center for Biotechnology, Taiwan
SOURCE: Taiwan, 4 pp.
CODEN: TWXXA5
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
TW 434206	B	20010516	TW 1997-86104131	19970401

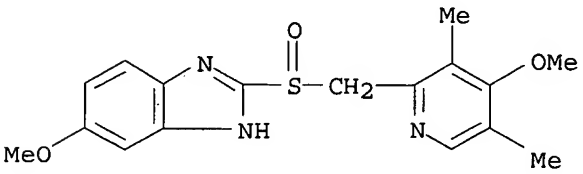
PRIORITY APPLN. INFO.: TW 1997-86104131 19970401
OTHER SOURCE(S): MARPAT 138:204944

AB Title compds. such as 2,3,5-trimethylpyridine, 2,3,5-trimethyl-4-methoxypyridine, 2-cyano-3,5-dimethyl-4-methoxypyridine, 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine, and 2-chloromethyl-3,5-dimethyl-4-methoxypyridines, useful as intermediates for omeprazole, are prepared by various methods. For example, dehydration of pyridine-2-carboxamides with P2O5 gave 2-cyanopyridines.

IT 86604-78-6P, 2-Hydroxymethyl-3,5-dimethyl-4-methoxypyridine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyridine derivs. as intermediates for antiulcer omeprazole)
RN 86604-78-6 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



IT 73590-58-6P, Omeprazole
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of pyridine derivs. as intermediates for antiulcer omeprazole)
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:62635 CAPLUS
DOCUMENT NUMBER: 138:73177
TITLE: Preparation of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine and its intermediates
INVENTOR(S): Tzou, Shian-Yan; Li, Fang-Yu; Wang, Hung-Jiun; Hung, Jiun-Lung
PATENT ASSIGNEE(S): Yung Shin Pharm. Ind. Co., Ltd., Taiwan

SOURCE: Taiwan, 15 pp.
CODEN: TWXXA5
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

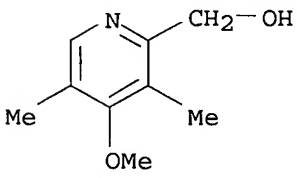
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
TW 393467	B	20000611	TW 1996-85114825	19961128

PRIORITY APPLN. INFO.: TW 1996-85114825 19961128
OTHER SOURCE(S): CASREACT 138:73177

AB The title compound, an intermediate for antiulcer omeprazole, is prepared in several steps starting from 1-alkoxy-2-methyl-1-penten-3-one by cyclization, amination, hydrogenolysis, halogenation, and methoxylation.

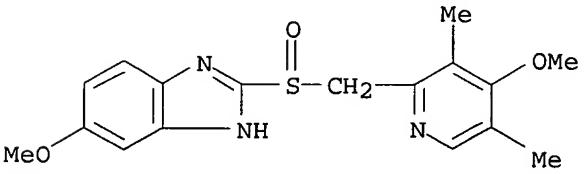
IT 86604-78-6P, 2-Hydroxymethyl-3,5-dimethyl-4-methoxypyridine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine and its intermediates)

RN 86604-78-6 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



IT 73590-58-6P, Omeprazole
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine as intermediate for antiulcer omeprazole)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

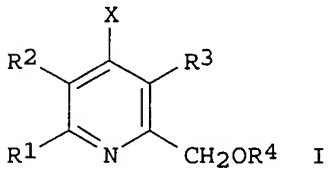


L6 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:15181 CAPLUS
DOCUMENT NUMBER: 132:64176
TITLE: Preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles.
INVENTOR(S): Nikolopoulos, Angelo; Schickaneder, Helmut; Kocher, Christian; Murphy, Trevor; Hermann, Gesine
PATENT ASSIGNEE(S): Russinsky Limited, Ire.
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

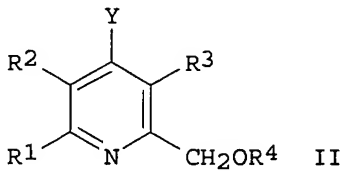
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000474	A1	20000106	WO 1999-IE55	19990618
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DE, DK, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9943877	A1	20000117	AU 1999-43877	19990618
PRIORITY APPLN. INFO.:			IE 1998-514 A	19980626
			WO 1999-IE55 W	19990618

OTHER SOURCE(S): CASREACT 132:64176; MARPAT 132:64176
GI



I



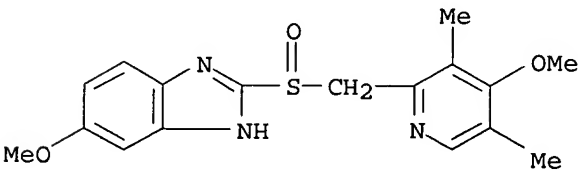
II

AB IkMzAl(OR5)mSn [R1-R3 = H, alkyl, CF3, CHF2, CH2F, alkoxy, alkoxyalkoxy, OCH2CF3; R4 = H, alkyl, PhCH2, AcO, PhCH2O, trialkylsilyl, neg. charge; R5 = alkyl, aryl, CH2CF3, CF3, CHF2, alkylalkoxy; X = halo, NO2, SO3, OH; M = alkaline earth metal, third main group element, transition metal; S = solvent; k = 1-4; l = 1-3; m = 0-3; n ≥0; z = l+m; with a proviso] and IIkMz(OR5)mSn [Y = alkoxy, aryloxy, OCH2CF3, alkoxyalkoxy, alkylthio, alkylthioalkylthio; z = m; other variables as above], were prepared Thus, 4-nitro-2,3,5-trimethylpyridine N-oxide was heated in HOAc/Ac2O at 20-100° for 1 h to give 88% 2-acetoxymethyl derivative, which was stirred at 10-30° with NaOH in EtOH for 1 h to give 84% 3,5-dimethyl-2-hydroxymethyl-4-nitropyridine (II). II in MeOH was treated with ZnCl2 and with NaOMe in MeOH to give 100% Zn(II)ClOMe.

IT 73590-58-6P, Omeprazole
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

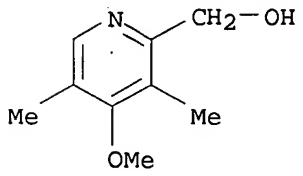


IT 86604-78-6P, 2-Hydroxymethyl-3,5-dimethyl-4-methoxypyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



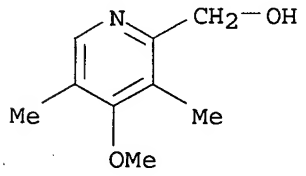
IT 96300-88-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles)

RN 96300-88-8 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:166599 CAPLUS

DOCUMENT NUMBER: 130:196579

TITLE: Preparation of pyridine derivatives

INVENTOR(S): Tarbit, Brian

PATENT ASSIGNEE(S): Seal Sands Chemicals Limited, UK

SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

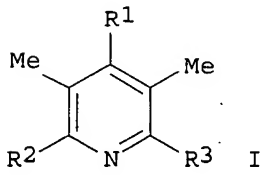
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910326	A1	19990304	WO 1998-GB2465	19980824

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

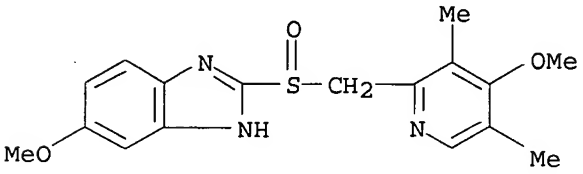
AU 9888690 A1 19990316 AU 1998-88690 19980824
EP 1005457 A1 20000607 EP 1998-940348 19980824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
PRIORITY APPLN. INFO.: GB 1997-17849 A 19970823
WO 1998-GB2465 W 19980824
OTHER SOURCE(S): MARPAT 130:196579
GI



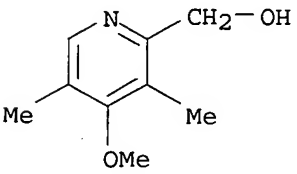
I

AB Pyridine derivs. I (R1 = NO2, Cl, Br, OH; R2 = H, HOCH2; R3 = HOCH2, ClCH2, BrCH2) or the N-oxides of these compds. were prepared E.g., treating 3,5-lutidine N-oxide with HNO3/H2SO4 gave 79% 4-nitro-3,5-lutidine N-oxide.

IT 73590-58-6P, Omeprazole
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of pyridine derivs.)
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT 86604-78-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridine derivs.)
RN 86604-78-6 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:745033 CAPLUS
DOCUMENT NUMBER: 129:343418
TITLE: Synthesis of pyridine derivatives useful as

pharmaceutical intermediates under free radical conditions.

INVENTOR(S): Zoghbi, Michel; Chen, Liquin
PATENT ASSIGNEE(S): Pdi-Research Laboratories, Inc., Can.

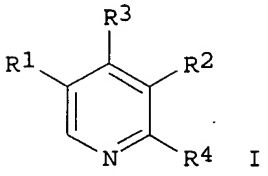
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

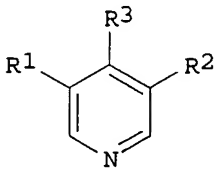
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850361	A2	19981112	WO 1998-CA375	19980421
WO 9850361	A3	19990204		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2204580	AA	19981106	CA 1997-2204580	19970506
AU 9870220	A1	19981127	AU 1998-70220	19980421
PRIORITY APPLN. INFO.:			CA 1997-2204580 A	19970506
			WO 1998-CA375 W	19980421

OTHER SOURCE(S): CASREACT 129:343418; MARPAT 129:343418

GI



I



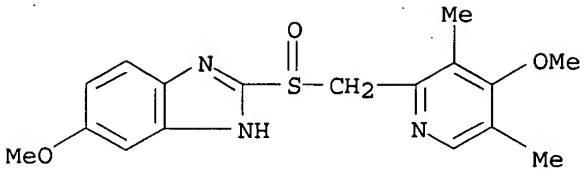
II

AB Title compds. (I; R1, R2 = H, Me; R3 = H, alkoxy, OCH2CF3, cyano, halo, acetoxy, aryloxy, electron withdrawing group; R4 = alkyl, acyl, amide, alkoxycarbonyl, aryloxycarbonyl, CO2H, PhOCH2, CH2OH or equivs.), were prepared by reaction of compds. (II; variables as above) under free radical conditions with R4 radical. Thus, 4-chloro-3,5-dimethylpyridine (preparation given) in aqueous H2SO4/PhMe was treated with a mixture prepared from Et pyruvate and 30-35% aqueous H2O2 and with an aqueous solution of iron sulfate to give >90% Et 4-chloro-3,5-dimethylpyridine-2-carboxylate. This was converted to 3,5-dimethyl-2-hydroxymethyl-4-methoxypyridine.

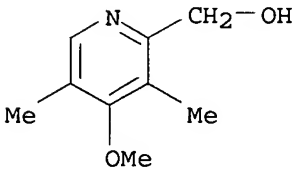
IT 73590-58-6P, Omeprazole
RL: PNU (Preparation, unclassified); PREP (Preparation)
(synthesis of pyridine derivs. useful as pharmaceutical intermediates under free radical conditions)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT 86604-78-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of pyridine derivs. useful as pharmaceutical intermediates under free radical conditions)
RN 86604-78-6 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

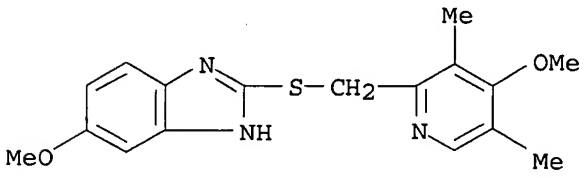


L6 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:269992 CAPLUS
DOCUMENT NUMBER: 128:316917
TITLE: Structure-Activity Relationship of 2-[[(2-Pyridyl)methyl]thio]-1H-benzimidazoles as Anti Helicobacter pylori Agents in Vitro and Evaluation of their in Vivo Efficacy
AUTHOR(S): Kuehler, Thomas C.; Swanson, Marianne; Shcherbuchin, Vladimir; Larsson, Haakan; Mellgaard, Bjoern; Sjoestroem, Jan-Eric
CORPORATE SOURCE: Departments of Medicinal Chemistry Pharmacology and Cell Biology, Astra Haessle AB, Moeludal, 431 83, Swed.
SOURCE: Journal of Medicinal Chemistry (1998), 41(11), 1777-1788
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

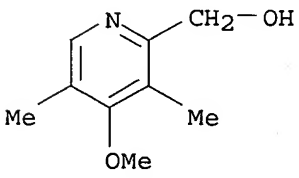
AB A relation between the structure of 21 2-[[(2-pyridyl)methyl]thio]-1H-benzimidazoles and their anti Helicobacter pylori activity expressed as min. bactericidal concentration (MBC) values is described. Observed MBCs ranged from 256 to 1 μg/mL. The structure-activity relation (SAR) showed that larger and more lipophilic compds., especially compds. with such substituents in the 4-position of the pyridyl moiety, generally had lower MBC values. Four new compds. that were predicted to be potent by the established SAR model were synthesized and tested. One such compound, i.e., 2-[[(4-[(cyclopropylmethyl)oxy]-3-methyl-2-pyridyl)methyl]thio]-1H-benzimidazole, was tested for in vivo efficacy in a mouse Helicobacter felis model (125 μmol/kg bid given orally for 4 days, n = 4). Unfortunately, antibacterial activity could not be clearly demonstrated in this model. Instead a potent acid secretion inhibition was observed This finding was attributed to the methylthio compound being oxidized to the corresponding Me sulfinyl derivative, i.e., a proton pump inhibitor, in vivo.

Although the antibacterial activity had the potential of decreasing H. felis cell counts in vivo the proton pump inhibitory effect became dominant and actually promoted H. felis cell growth. Hence, the antibacterial utility of the 2-[[(2-pyridyl)methyl]thio]-1H-benzimidazoles as a compound class is compromised by their propensity to become proton pump inhibitors upon metabolic oxidation in vivo.

IT 73590-85-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and activity of 2-[[(2-pyridyl)methyl]thio]-1H-benzimidazoles as anti helicobacter pylori agents)
RN 73590-85-9 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



IT 86604-78-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and activity of 2-[[(2-pyridyl)methyl]thio]-1H-benzimidazoles as anti helicobacter pylori agents)
RN 86604-78-6 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:501539 CAPLUS
DOCUMENT NUMBER: 127:121711
TITLE: Method for the synthesis of a benzimidazole compound
INVENTOR(S): Gustavsson, Anders; Kallstrom, Ake
PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.; Gustavsson, Anders; Kallstrom, Ake
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722603	A1	19970626	WO 1996-SE1603	19961205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				

	DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
SE	9504503	A	19970616	SE 1995-4503	19951215
SE	521100	C2	20030930		
ZA	9610067	A	19970617	ZA 1996-10067	19961129
TW	460474	B	20011021	TW 1996-85114881	19961203
CA	2238864	AA	19970626	CA 1996-2238864	19961205
CA	2238864	C	20060124		
AU	9711550	A1	19970714	AU 1997-11550	19961205
AU	704422	B2	19990422		
EP	868423	A1	19981007	EP 1996-942702	19961205
EP	868423	B1	20010905		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN	1204332	A	19990106	CN 1996-199057	19961205
CN	1113879	B	20030709		
JP	2000502101	T2	20000222	JP 1997-522697	19961205
JP	3523267	B2	20040426		
NZ	324482	A	20000428	NZ 1996-324482	19961205
RU	2166502	C2	20010510	RU 1998-110659	19961205
CZ	288661	B6	20010815	CZ 1998-1685	19961205
AT	205201	E	20010915	AT 1996-942702	19961205
SK	282347	B6	20020107	SK 1998-768	19961205
ES	2125210	T3	20020201	ES 1996-942702	19961205
PT	868423	T	20020228	PT 1996-942702	19961205
EE	3768	B1	20020617	EE 1998-183	19961205
IL	124856	A1	20021201	IL 1996-124856	19961205
PL	186132	B1	20031031	PL 1996-327334	19961205
HR	960581	B1	20011231	HR 1996-960581	19961209
US	5958955	A	19990928	US 1997-776222	19970123
NO	9802624	A	19980608	NO 1998-2624	19980608
NO	314306	B1	20030303		
HK	1010539	A1	20020328	HK 1998-111601	19981029
PRIORITY APPLN. INFO.:				SE 1995-4503 A	19951215
				WO 1996-SE1603 W	19961205

GI

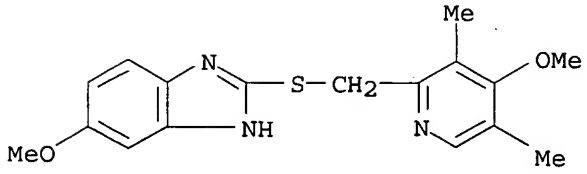
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for the manufacture of omeprazole (I) from pyrmethyl alc. (II) via pyrimethyl chloride (III) and pyrmetazole (IV) characterized in that the whole reaction sequence is carried out without any isolation or purification of intermediates. Further that the reaction is carried out in a main solvent system common for the whole reaction sequence and inert to the reactants formed during the process and used in the process. The process according to the present invention may also include an addnl. purification step. SOCl2 17.8g in 13 mL CH2Cl2 was added to 16.8g II and stirred for 30 min to give III. 2-Mercapto-5-methoxybenzimidazole 18.0g, NaOH, 0.098 g Bu4NBr were combined with III at 25-40°and refluxed for 1-2 h to give IV. m-Chloroperoxybenzoic acid 22.3g, CH2Cl2, and 10 mL EtOH were charged to the prepared IV and oxidized at 0-15°, separated, washed, and precipitated to give 76% yield of I. I is an inhibitor of gastric secretion making it useful as an antiulcer agent.

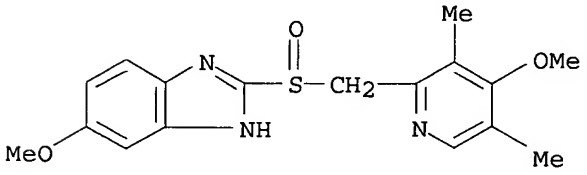
IT 73590-85-9P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic

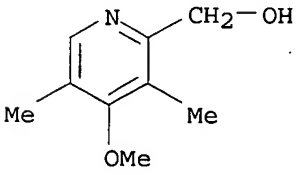
preparation); PREP (Preparation); RACT (Reactant or reagent)
(method for the synthesis of a benzimidazole compound)
RN 73590-85-9 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



IT 73590-58-6P, Omeprazole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method for the synthesis of a benzimidazole compound)
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT 86604-78-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(method for the synthesis of a benzimidazole compound)
RN 86604-78-6 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

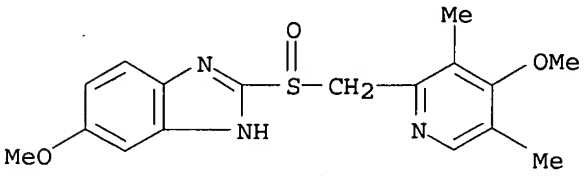


L6 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:97611 CAPLUS
DOCUMENT NUMBER: 126:171462
TITLE: Synthesis of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine: a key intermediate for omeprazole
AUTHOR(S): Chou, Shan-Yen; Chen, Shyh-Fong
CORPORATE SOURCE: Dev. Cent. Biotechnol., Taipei, Taiwan
SOURCE: Heterocycles (1997), 45(1), 77-85
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A synthesis of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine, a key

intermediate for the preparation of gastric acid inhibiting compound omeprazole,
is described. The procedure consists of preparation of pyrone, pyridone, and pyridine derivs. sequentially.

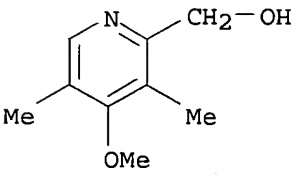
IT 73590-58-6P, Omeprazole
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of (hydroxymethyl)dimethylmethoxypyridine as intermediate for omeprazole)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT 86604-78-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (hydroxymethyl)dimethylmethoxypyridine as intermediate for omeprazole)

RN 86604-78-6 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

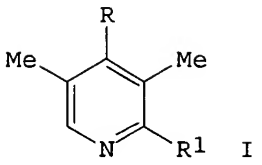
ACCESSION NUMBER:	1993:625834 CAPLUS
DOCUMENT NUMBER:	119:225834
TITLE:	Process for preparation of 4-substituted 2-(hydroxymethyl)-3,5-dimethylpyridines useful as omeprazole intermediates
INVENTOR(S):	Palomo Coll, Alberto
PATENT ASSIGNEE(S):	Centro Genesis para la Investigacion, S.L., Spain
SOURCE:	Span., 7 pp. CODEN: SPXXAD
DOCUMENT TYPE:	Patent
LANGUAGE:	Spanish
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

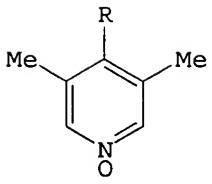
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2035767	A1	19930416	ES 1991-890	19910405
ES 2035767	B1	19940201		

PRIORITY APPLN. INFO.:	ES 1991-890	19910405
OTHER SOURCE(S):	CASREACT 119:225834	

GI



I



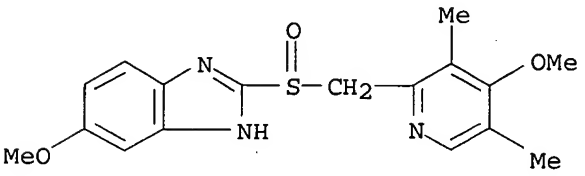
II

AB Title compds. I (R = NO2, OMe; R1 = CH2OH), useful as intermediates for the antiulcer drug omeprazole, are prepared by a 3-step process. N-oxides II undergo cyanation and deoxygenation using Me3SiCN (may be formed in situ) to give nitriles I (R1 = cyano), which are hydrolyzed to give acids I (R1 = CO2H). The acids, after optional nucleophilic methoxylation (to convert R = NO2 to R = OMe), are reduced to give I (R1 = CH2OH). In the sole example, II (R = OMe) was treated with NaCN, Et3N, and Me3SiCl in DMF at 20-110°, and the crude product was hydrolyzed with 35% HCl at reflux, to give I (R = OMe, R1 = CO2H). Claimed possibilities for reducing agents for the final step are borane, aluminum hydride, or LiAlH4.

IT 73590-58-6P, Omeprazole 86604-78-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of omeprazole intermediates)

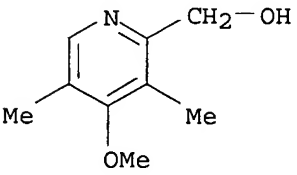
RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:511478 CAPLUS

DOCUMENT NUMBER: 117:111478

TITLE: Improved preparation of 2-(halomethyl)-3,5-dimethyl-4-methoxypyridine hydrohalides, intermediates for omeprazole

INVENTOR(S): Palomo Coll, Alberto

PATENT ASSIGNEE(S): Centro Genesis para la Investigacion S.A., Spain

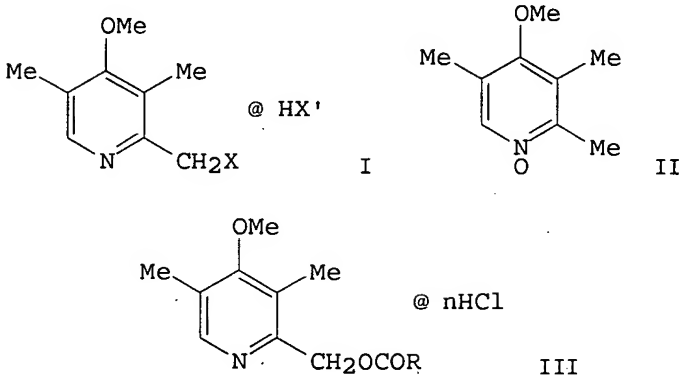
SOURCE: Span., 26 pp.
CODEN: SPXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2024357	A6	19920216	ES 1990-3113	19901205
US 5292886	A	19940308	US 1991-796070	19911120

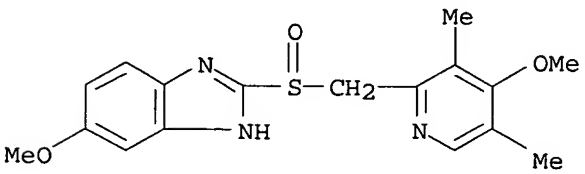
PRIORITY APPLN. INFO.: ES 1990-3113 A 19901205
OTHER SOURCE(S): CASREACT 117:111478; MARPAT 117:111478
GI



AB Title halides I (X, X' = same or different halo), useful as intermediates for the antiulcer agent omeprazole, are prepared from the N-oxide II in 3 steps: (1) O-acylation and acyloxylation of the Me group to give compds. III (R = Me, CCl3, CF3; n = 0, 1), (2) basic or acidic hydrolysis of III to give 2-(hydroxymethyl)-3,5-dimethyl-4-methoxypyridine (IV) or its HCl salt, and (3) halogenation of the alc. Thus, a solution of II in CH2Cl2 was added slowly to Ac2O containing 4-(dimethylamino)pyridine catalyst at 90-95° to give, after aqueous quenching and distillation at reduced pressure, crude III (R = Me, n = 0) in nearly quant. yield. Hydrolysis of the latter by aqueous 30% NaOH at 25-28° and pH 11.7-13 with subsequent extraction and distillation in vacuo gave 92.4% IV. Treatment of IV with SOCl2 and DMF catalyst in CH2Cl2 at 20-38° gave 86% I (X = X' = Cl). IR and/or 1H NMR spectra of the example product and intermediates are included.

IT 73590-58-6, Omeprazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediates for, improved preparation of (halomethyl)dimethylmethoxypyridine hydrohalides as)

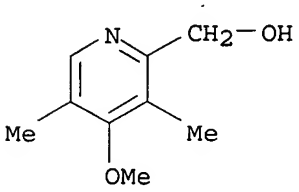
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



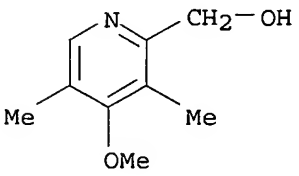
IT 86604-78-6P 96300-88-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to chloromethyl analog)

RN 86604-78-6 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 96300-88-8 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

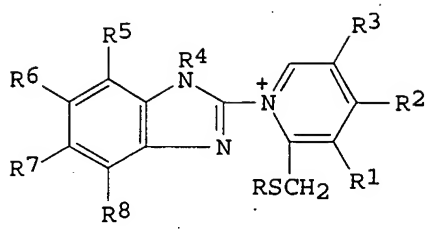


● HCl

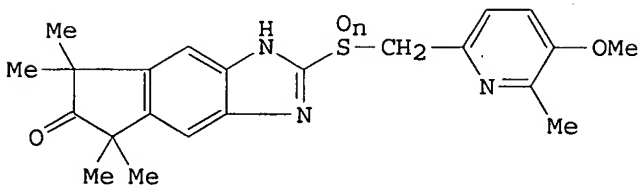
L6 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:55858 CAPLUS
DOCUMENT NUMBER: 112:55858
TITLE: Preparation of benzimidazolylpyridinium compounds and their pharmaceutical compositions as antiulcer agents
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Jpn. Kokai Tokkyo Koho, 74 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62042983	A2	19870224	JP 1986-187966	19860812
DK 8603370	A	19870213	DK 1986-3370	19860715
ZA 8605884	A	19870527	ZA 1986-5884	19860805
AU 8660943	A1	19870219	AU 1986-60943	19860806
US 4766133	A	19880823	US 1986-893856	19860806
FI 8603242	A	19870213	FI 1986-3242	19860808
EP 214479	A2	19870318	EP 1986-110990	19860808
EP 214479	A3	19870805		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
HU 41766	A2	19870528	HU 1986-3450	19860808
NO 8603228	A	19870213	NO 1986-3228	19860811

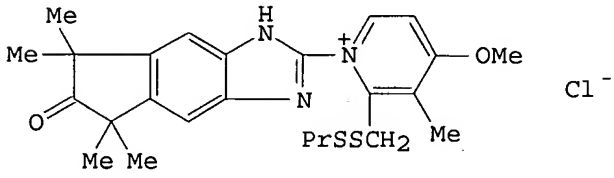
PRIORITY APPLN. INFO.: CH 1985-3455 A 19850812
CH 1986-2350 A 19860610
OTHER SOURCE(S): MARPAT 112:55858
GI



I



II



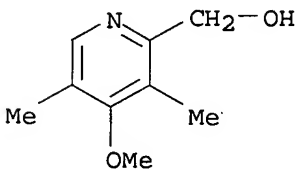
III

AB The title compds. (I; R = alkylthio, cycloalkylthio, SO3-, SSO3-, etc.; R1, R3 = H, C1-7 alkyl; R2 = H, C1-7 alkyl, alkoxy, O-; R4 = H, neg. charge; R5-R8 = H, C1-7 alkyl, aryl, halo, etc.), useful as antiulcer and antisecretory agents, are prepared I are effective therapeutic and prophylactic agents in treating peptic and duodenal ulcers. Sulfoxide II (n = 1), prepared by oxidation of sulfide II (n = 0), was treated with PrSH in 1N HCl to give pyridinium salt III, which showed ED50 of 3.4 mg/kg p.o. in inhibiting gastric juice secretion in dogs with LD50 of 312-625 mg/kg p.o. A capsule formulation was prepared from I 50.0, powdered lactose 40.0, crystalline lactose 130.0, corn starch 20.0, talc 8.0, and Mg stearate 2.0 mg. Similarly prepared were 181 addnl. I.

IT 86604-78-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antiulcer agents)

RN 86604-78-6 CAPLUS

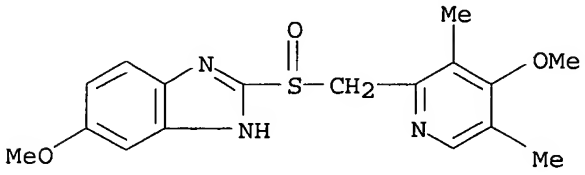
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



IT 73590-58-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antiulcer agents)

RN 73590-58-6 CAPLUS

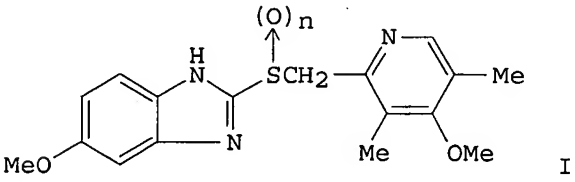
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:439810 CAPLUS
DOCUMENT NUMBER: 107:39810
TITLE: Process for the preparation of 2-[2-(3,5-dimethyl-4-methoxypyridyl)methylsulfinyl]-5-methoxybenzimidazole
INVENTOR(S): Rubio Zurita, Pelayo; Rubio Zurita, Salvador
PATENT ASSIGNEE(S): Laboratorios Rubio S. A., Spain
SOURCE: Span., 11 pp.
CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 543816	A1	19860116	ES 1985-543816	19850601

PRIORITY APPLN. INFO.: ES 1985-543816 19850601
GI

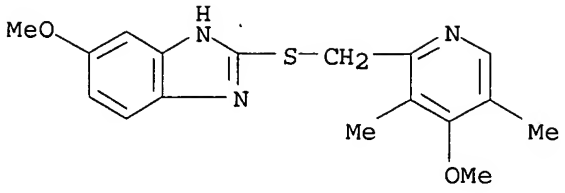


I

AB The title compound I (n = 1) (II; i.e. the antiulcer agent omeprazole) is prepared as follows. An aqueous solution of I-HCl (n = 0) was treated with powdered m-ClC6H4C(O)OOH at 0° to give II.

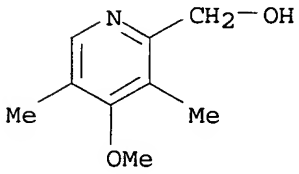
IT 108928-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

RN 108928-02-5 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-, hydrochloride (9CI) (CA INDEX NAME)



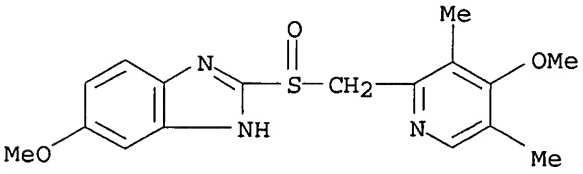
● x HCl

IT 96300-88-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for omeprazole)
RN 96300-88-8 CAPLUS
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 73590-58-6P, Omeprazole
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by oxidation of [(pyridylmethyl)thio]benzimidazole derivative)
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



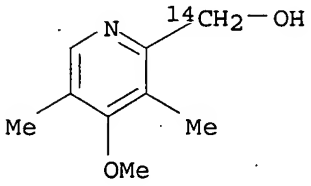
L6 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:84476 CAPLUS
DOCUMENT NUMBER: 106:84476
TITLE: The preparation of carbon-14-, sulfur-35-, and carbon-13-labeled forms of omeprazole
AUTHOR(S): Crowe, A. M.; Ife, R. J.; Mitchell, M. B.; Saunders, D.
CORPORATE SOURCE: Smith Kline and French Res. Ltd., The Frythe/Welwyn/Hertfordshire, AL6 9AR, UK
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals

(1986), 23(1), 21-33
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:84476

AB Omeprazoles labeled with carbon-13 or -14 at the benzimidazole position, sulfur-35, or carbon-14 at the methylene position (4 compds.) were prepared

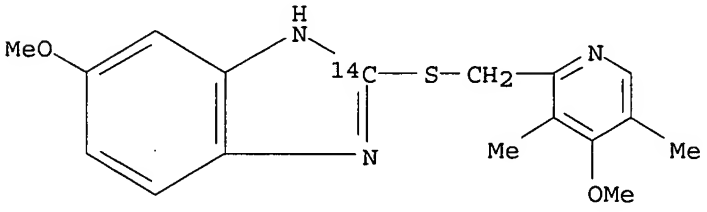
IT 106658-26-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

RN 106658-26-8 CAPLUS
CN 2-Pyridinemethanol-14C, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

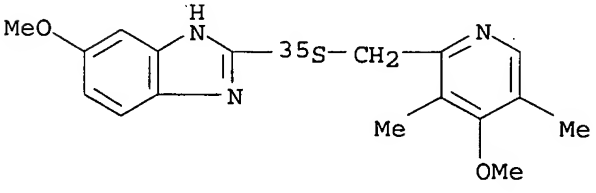


IT 106658-16-6P 106658-19-9P 106658-27-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

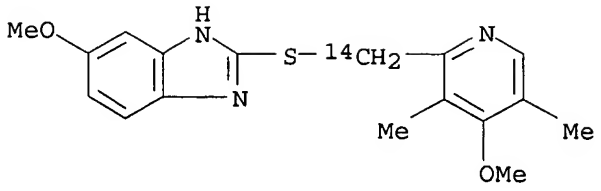
RN 106658-16-6 CAPLUS
CN 1H-Benzimidazole-2-14C, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



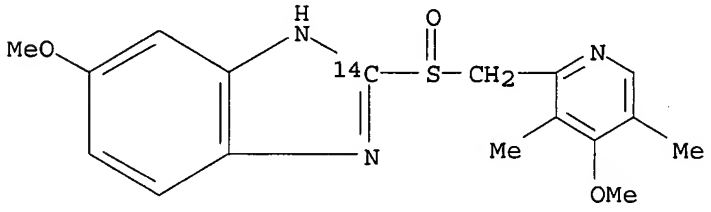
RN 106658-19-9 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio-35S]- (9CI) (CA INDEX NAME)



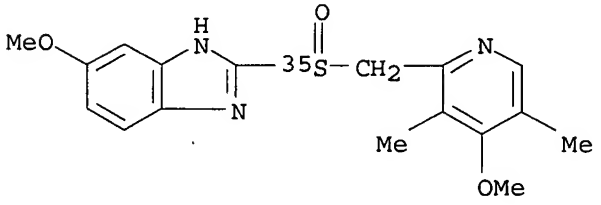
RN 106658-27-9 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl-14C]thio]- (9CI) (CA INDEX NAME)



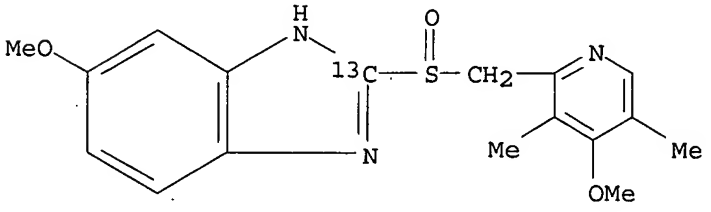
IT 106658-17-7P 106658-20-2P 106658-22-4P
106658-28-0P 106658-29-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 106658-17-7 CAPLUS
CN 1H-Benzimidazole-2-14C, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



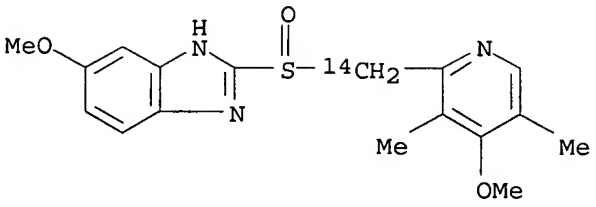
RN 106658-20-2 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl-35S]- (9CI) (CA INDEX NAME)



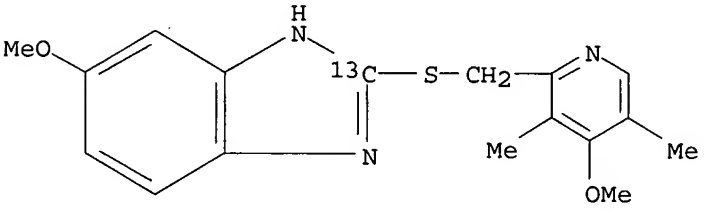
RN 106658-22-4 CAPLUS
CN 1H-Benzimidazole-2-13C, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 106658-28-0 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl-14C]sulfinyl]- (9CI) (CA INDEX NAME)



RN 106658-29-1 CAPLUS
CN 1H-Benzimidazole-2-13C, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



=>